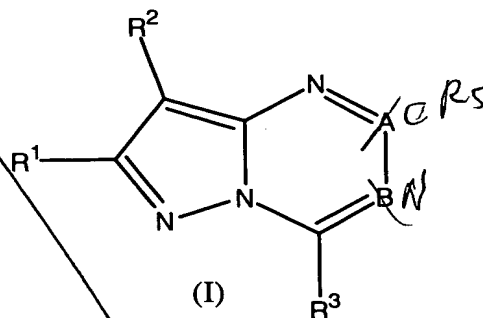


WHAT IS CLAIMED IS:

1. A compound of formula I:



or a stereoisomer or pharmaceutically acceptable salt thereof, wherein:

10 A equals $\boxed{\text{N or CR}^5}$;

B equals $\boxed{\text{N or CR}^4}$,

provided that both A and B can not be N or provided that

15 A can not be CR⁵ and B can not be CR⁴ to form a pyrazolopyrimidine;

R¹ is independently selected from the group consisting of

- 20 H,
halogen,
CN,
C₁₋₆ alkyl,
C₂₋₁₀ alkenyl,
25 C₂₋₁₀ alkynyl,
C₃₋₆ cycloalkyl,
C₁₋₆ alkyloxy,
C₁₋₆ alkylS(O)_n,

B2
cont

~~-NR^{1a}R^{1b} wherein R^{1a} and R^{1b} are independently selected from
H, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, -C(O)C₁₋₄alkyl,~~

~~C₁₋₆ alkylNR^{1a}R^{1b},~~

~~NR^{1a}COR^{1b},~~

5 ~~-C(O)NR^{1a}R^{1b},~~

~~-O-C(O)C₁₋₄alkyl,~~

~~-XR^{1c} wherein R^{1c} is selected from H or -C₁₋₄ alkylaryl;~~

~~X is selected from O or S(O)_n,~~

10

~~wherein R¹ is substituted with 0-6 substituents selected
from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₄
haloalkyl, C₁₋₄ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylthio,
C₁₋₄ alkylsulfinyl or C₁₋₄ alkylsulfonyl;~~

15

~~R² is selected from the group consisting of~~

~~H, OR⁷, SH, NR⁶R⁷, C(OH)R⁶R^{6a}, C(OR⁷)R⁶R^{6a}, S(O)_nR¹³, COR⁷,~~

~~CO₂R⁷, CHR⁶(OR⁷)R^{6a}, OC(O)R¹³, NO, NO₂, NR⁶C(O)R⁷, N(COR⁷)₂,~~

~~NR⁸CONR⁶R⁷, NR⁶CO₂R⁷; or~~

20

~~C₁₋₁₀ alkyl,~~

~~C₂₋₁₀ alkenyl,~~

~~C₂₋₁₀ alkynyl,~~

~~C₃₋₈ cycloalkyl,~~

25 ~~C₃₋₆ cycloalkyl C₁₋₆ alkyl,~~

~~C₁₋₁₀ alkyloxy,~~

~~C₁₋₁₀ alkyloxyC₁₋₁₀ alkyl,~~

~~-SO₂-C₁₋₁₀alkyl~~

~~-SO₂R^{2a} wherein R^{2a} is aryl,~~

30 ~~-SO₂R^{2b} wherein R^{2b} is heteroaryl,~~

~~-NR^{2c}R^{2d} wherein R^{2c} and R^{2d} are independently selected from~~

~~H, C₁₋₈ alkyl, S(O)_nC₁₋₄alkyl, C(O)NR^{2c}R^{2d}, CO₂C₁₋₄alkyl,~~

~~C₃₋₈ cycloalkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, -C(O)C₁₋₄alkyl~~

or R^{2c} and R^{2d} may join to form a heterocyclic ring having 0-3 heteroatoms selected from O, N or S,

B²
cont
- halogen,

5

-CN,

-C(O)-L wherein L is selected from H, $NR^{2c}R^{2d}$, C_{1-6} alkyl or OC_{1-4} alkyl, $O(CH_2)_mOR$ wherein R is C_{1-3} alkyl, $O(CH_2)_m-NR^{2c}R^{2d}$, OH, $C(O)OC_{1-6}$ alkyl or aryl or heteroaryl wherein m is 1-4;

10

-OC(O)-M wherein M is selected from C_{1-4} alkyl, C_{1-4} haloalkyl, C_{2-8} alkoxyalkyl, C_{3-6} cycloalkyl, C_{4-12} cycloalkylalkyl, aryl, C_{1-6} alkylaryl, heteroaryl, C_{1-6} alkylheteroaryl;

15

n is 0, 1 or 2; and wherein

R^2 is substituted with 0-3 substituents independently selected from R' , R'' , R''' wherein R' , R'' and R''' are independently selected from C_{1-6} alkyl, C_{3-7} cycloalkyl, hydroxy C_{1-6} alkyl, C_{1-6} alkyloxy C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkyloxy, hydroxy, or

20

R^2 is substituted with 0-3 substituents independently selected from:

25

halogen,

-CN,

-S(O)_n R^{2e} wherein R^{2e} is selected from C_{1-4} alkyl, C_{1-4}

30

haloalkyl, C_{1-4} alkyloxy C_{1-4} alkyl, C_{3-6} cycloalkyl;

-COR^{2f} wherein R^{2f} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkyloxy C_{1-4} alkyl, C_{3-6}

cycloalkyl, and C₃₋₆ cycloalkylC₁₋₄ alkyl;

B²
cont
~~-CO₂R^{2f},~~

~~-NR^{2g}COR^{2f} wherein R^{2g} is selected from H, C₁₋₆ alkyl, C₃₋₇
5 cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl;~~

~~-N(COR^{2f})₂,~~

~~-NR^{2g}CONR^{2f}R^{2h}, wherein R^{2h} is selected from H, C₁₋₆ alkyl,
C₁₋₄ haloalkyl, C₁₋₄ alkoxy C₁₋₄ alkyl,
C₃₋₆ cycloalkyl and C₃₋₆ cycloalkylC₁₋₆
10 alkyl;~~

~~-NR^{2g}CO₂R^{2e},~~

~~-CONR^{2g}R^{2h},~~

~~1-morpholinyl,~~

~~15 1-piperidinyl,~~

~~1-piperazinyl,~~

~~and~~

~~C₃₋₈ cycloalkyl wherein 0-1 carbon atoms in the C₄₋₈
cycloalkyl is replaced by a group selected from~~

~~20 -O-, -S(O)_n-, -NR^{2g}-, -NCO₂R^{2e}, -NCOR^{2e},~~

~~and -NSO₂R^{2e}; and wherein N₄ in~~

~~1-piperazinyl is substituted with 0-1~~

~~substituents selected from R^{2g}, CO₂R^{2e}, COR^{2e} and~~

~~SO₂R^{2e}; or~~

~~25~~

~~the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈~~

~~alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g},~~

~~-NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g}, and C₃₋₈ cycloalkyl which is~~

~~substituted with 0-1 R²ⁱ and in which 0-1 carbons of C₄₋₈~~

~~30 cycloalkyl is replaced by -O-, wherein~~

~~R²ⁱ is selected from aryl wherein aryl includes~~

~~phenyl, naphthyl, indanyl and indenyl, each~~

~~R²ⁱ being substituted with 0-1 OR^{2m} and 0-5~~

B2
cont

substituents independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, -SH, $-S(O)_n R^{2n}$, $-COR^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$,

5 $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2n}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$;

R^{2j} is selected from heteroaryl wherein heteroaryl includes pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl,

10 thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl
15 and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, OR^{2m} , -SH, $-S(O)_n R^{2h}$, $-COR^{2m}$, -
20 $OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, -
 $NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

25 R^{2k} is heterocyclyl which is a saturated or partially saturated heteroaryl as defined for R^{2j} , each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{2m}$,
30 -SH, $-S(O)_n R^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$,
 $-NR^{2g}CONR^{2o}R^{2p}$, $NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heterocyclyl being substituted on any nitrogen atom with

B2
cont
0-1 substituents selected from the group R^{2f} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

wherein

5

R^{21} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl and C_{3-8} cycloalkyl;

10

R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{2q}S(O)_n-C_{1-4}$ alkyl and $R^{2r}R^{2s}N-C_{2-4}$ alkyl;

15

R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, and C_{1-4} haloalkyl;

20

R^{2o} and R^{2p} are independently selected at each occurrence from H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl and C_{1-4} haloalkyl;

25

R^{2q} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

30

$R^{2r}R^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl wherein N_4 in 1-piperiazinyl is substituted with 0-1 substituents selected from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ;

B2
cont

R^{1c} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy
- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl - C_{1-6} alkyl,
aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4}
alkyl);

5 R^3 is selected from an aryl or heteroaryl group attached
through an unsaturated carbon atom;

10 aryl is selected from phenyl, naphthyl, indanyl and
indenyl, each aryl being substituted with 0-5
substituents independently selected at each occurrence
from C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4}
alkyloxy- C_{1-4} alkyloxy, $-OR^{2m}$, Br, Cl, F, I, C_{1-4} haloalkyl,
-CN, $-NO_2$, $-SH$, $-S(O)_n R^{2n}$, $-COR^{2m}$, $-CO_2 R^{2m}$, $-OC(O) R^{2n}$, -
15 $NR^{2g} COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g} CONR^{2o} R^{2p}$, $-NR^{2g} CO_2 R^{2h}$, $-NR^{2o} R^{2p}$ and
 $CONR^{2o} R^{2p}$;

heteroaryl is selected from the group pyridyl, pyrimidyl,
triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl,
20 imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl,
benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl,
isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-
dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-
dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-
25 dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl
and benzodioxane, each heteroaryl being substituted at 0-
4 carbon atoms with a substituent independently selected
at each occurrence from the group C_{1-6} alkyl, C_{3-6}
cycloalkyl, Br, F, I, C_{1-4} haloalkyl, $-CN$, $NR^{2g} R^{2h}$, nitro, -
30 OR^{2m} , $-SH$, $-S(O)_n R^{2n}$, COR^{2m} , $-CO_2 R^{2m}$, $-OC(O) R^{2n}$, $-NR^{2g} COR^{2m}$, -
 $N(COR^{2m})_2$, $-NR^{2g} CONR^{2o} R^{2p}$ and each heteroaryl being
substituted at any nitrogen atom with 0-1 substituents
selected from the group R^{2g} , $CO_2 R^{3a}$, COR^{3a} and $SO_2 R^{3a}$ wherein,

B²
cont

- 5 R^{3a} is selected from the group C₁₋₆ alkyl, C₁₋₄ cycloalkyl-C₁₋₆ alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C₁₋₄ alkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, and dimethylamino;

- 10 R⁴ and R⁵ are independently selected at each occurrence from H, Br, Cl, F, I, -CN, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfanyl, C₁₋₆ alkylsulfonyl, amino, C₁₋₄ alkylamino, (C₁₋₄ alkyl)₂ amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group consisting of C₁₋₇ alkyl, C₃₋₈ cycloalkyl, Br, Cl, F, I, -C(O)H, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfanyl, C₁₋₄ alkylsulfonyl, C₁₋₆ alkylamino and (C₁₋₄ alkyl)₂ amino and wherein R⁴ and R⁵ non-phenyl groups may be substituted with 0-5 substituents selected from OH, halogen, -C(O)H, -OC₁₋₆- alkyl and C₁₋₆ haloalkyl, C₁₋₆ alkyl, C₃₋₇ c-alkyl, C₁₋₆ alkyl(OH)_nCO₂R wherein R is H or C₁₋₆ alkyl, C₁₋₆ alkyl(OH)_n, wherein n is 0-3 or R⁴ and R⁵ may join together to form a C₃₋₆ alkylene chain;

- 25 R⁶, R^{6a} and R⁷ are independently selected from: H, C₁₋₁₀ alkyl, C₃₋₁₀ cycloalkyl, C₃₋₁₀ alkenyl, C₃₋₁₀ alkynyl, C₁₋₁₀ haloalkyl, C₂₋₈ alkoxyalkyl, C₄₋₁₂ cycloalkylalkyl, C₅₋₁₀ cycloalkenyl, C₆₋₁₄ cycloalkenylalkyl;

- 30 R⁶, R^{6a} and R⁷ are substituted with 0-6 substituents independently selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy or C₁₋₄ haloalkyl;

B²
cont

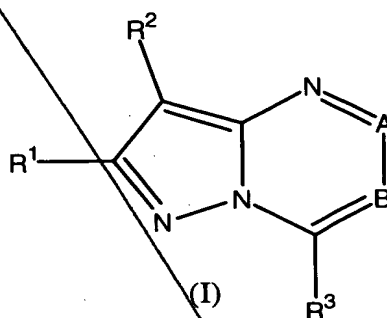
with the proviso that the compounds of Formula I with R¹, R², R³, R⁴ and R⁵ as specifically defined below are excluded:

- 5 (a) a compound of formula I wherein A = CR⁵ with R⁵ o-hydroxyphenyl, B = N, R³ = o-hydroxyphenyl, R¹=SMe and R² =CN ;
- 10 (b) a compound of formula I wherein A=CR⁵, R⁵=CH₃, B = N, R¹ = Ph, R² = Br and R³ is Ph;
- (c) a compound of formula I wherein A= CR⁵, R⁵ =p-Cl-phenyl, B= N, R¹ = Me, R² = H and R³ = p-CF₃-phenyl;
- 15 (d) a compound of formula I wherein A= CR⁵, R⁵ = phenyl, B= N, R¹ = Me, R² = H and R³ = p-CF₃-phenyl;
- (e) a compound of formula I wherein A= CR⁵, R⁵ = ethyl, B= N, R¹ = Me, R² = H and R³ = N-methyl-piperiazin-N-yl ;
- 20 (f) a compound of formula I wherein A=CR⁵, R⁵ is p-Cl-Ph, R¹=H, R²=H and R³ = p-CF₃-Ph ;
- (g) a compound of formula I wherein A=CR⁵, R⁵=p-Cl-Ph, R¹=
- 25 CH₃, R²=H, R³= p-CF₃-Ph ;
- (h) a compound of formula I wherein A=CR⁵, R⁵=Ph, R¹ = Me, R²=H, R³=p-CF₃-Ph ;
- 30 (i) a compound of formula I wherein A=CR⁵, R⁵=Ph, R¹=H, R²=H, R³=p-CF₃-Ph ;

B²
cont
(j) a compound of formula I wherein $A=CR^5$, $R^3 = Ph$ and R^2 is H, Br, CN, CO_2Et or Cl ;

(k) a compound of formula I wherein $A=CR^5$, $R^5 = CH_3$, C_2H_5 ,
5 or Ph, $R^1=H$, $R^2=H$ and $R^3=Ph$.

2. A compound of formula I:



10
or a stereoisomer or pharmaceutically acceptable salt thereof, wherein:

15 A equals N or CR^5 ;

B equals N or CR^4 ;

provided that both A and B cannot be N or

20 provided that A can not be CR^5 and B can not be CR^4 to form a pyrazolopyrimidine; and wherein,

R^1 is independently selected from the group consisting of

25 H,
halogen,
CN,
 C_{1-6} alkyl,

B²
cont 5

C₂₋₁₀ alkenyl,

C₂₋₁₀ alkynyl,

C₃₋₆ cycloalkyl,

C₁₋₆ alkyloxy,

C₁₋₆ alkylS(O)_n,

-NR^{1a}R^{1b} wherein R^{1a} and R^{1b} are independently selected from

H, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, -C(O)C₁₋₄alkyl,

C₁₋₆ alkylNR^{1a}R^{1b},

NR^{1a}COR^{1b},

10 -C(O)NR^{1a}R^{1b},

-O-C(O)C₁₋₄alkyl,

-XR^{1c} wherein R^{1c} is selected from H or -C₁₋₄ alkylaryl;

X is selected from O or S(O)_n,

15

wherein R¹ is substituted with 0-6 substituents selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₄ haloalkyl, C₁₋₄ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkyloxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl or C₁₋₄ alkylsulfonyl;

20

R² is selected from the group consisting of

OR⁷, SH, NR⁶R⁷, C(OH)R⁶R^{6a}, C(OR⁷)R⁶R^{6a}, S(O)_nR¹³, COR⁷, CO₂R⁷, CHR⁶(OR⁷)R^{6a}, OC(O)R¹³, NO, NO₂, NR⁶C(O)R⁷, N(COR⁷)₂, NR⁸CONR⁶R⁷ or NR⁶CO₂R⁷; or R² is selected from:

25

C₁₋₁₀ alkyl,

C₂₋₁₀ alkenyl,

C₂₋₁₀ alkynyl,

C₃₋₈ cycloalkyl,

30 C₃₋₆ cycloalkyl C₁₋₆ alkyl,

C₁₋₁₀ alkyloxy,

C₁₋₁₀ alkyloxyC₁₋₁₀ alkyl,

-SO₂-C₁₋₁₀alkyl

B²
cont

-SO₂R^{2a} wherein R^{2a} is aryl,

-SO₂R^{2b} wherein R^{2b} is heteroaryl,

-NR^{2c}R^{2d} wherein R^{2c} and R^{2d} are independently selected from
H, C₁₋₈ alkyl, S(O)_nC₁₋₄alkyl, C(O)NR^{2c}R^{2d}, CO₂C₁₋₄alkyl,
5 C₃₋₈ cycloalkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, -C(O)C₁₋₄alkyl
or R^{2c} and R^{2d} may join to form a heterocyclic ring
having 0-3 heteroatoms selected from O, N or S,

10 -C(O)-L wherein L is selected from H, NR^{2c}R^{2d}, C₁₋₆ alkyl
O(CH₂)_mOR wherein R is C₁₋₃ alkyl, O(CH₂)_m-NR^{2c}R^{2d}, OH,
C(O)OC₁₋₆alkyl, or aryl or heteroaryl wherein m is 1-4; or

-OC(O)-M wherein M is selected from C₁₋₄ alkyl, C₁₋₄
15 haloalkyl, C₂₋₈ alkoxyalkyl, C₃₋₆cycloalkyl, C₄₋₁₂
cycloalkylalkyl, aryl, C₁₋₆ alkylaryl, heteroaryl, C₁₋₆
alkylheteroaryl;

n is 0, 1 or 2; and wherein

20 R² is substituted with 0-3 substituents independently
selected from R', R'', R''' wherein R', R'' and R''' are
independently selected from C₁₋₆ alkyl, C₃₋₇ cycloalkyl,
hydroxyC₁₋₆ alkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
25 alkynyl, C₁₋₆ alkyloxy, hydroxy, or

R² is substituted with 0-3 substituents independently
selected from:

30 halogen,

-CN,

-S(O)_nR^{2e} wherein R^{2e} is selected from C₁₋₄ alkyl, C₁₋₄
haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl;

B2
cont

-COR^{2f} wherein R^{2f} is selected from H, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl, and C₃₋₆ cycloalkylC₁₋₄ alkyl;

-CO₂R^{2f},

-NR^{2g}COR^{2f} wherein R^{2g} is selected from H, C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl;

-N(COR^{2f})₂,

10 -NR^{2g}CONR^{2f}R^{2h}, wherein R^{2h} is selected from H, C₁₋₆ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl and C₃₋₆ cycloalkylC₁₋₆ alkyl;

15 -NR^{2g}CO₂R^{2e},

-CONR^{2g}R^{2h},

1-morpholinyl,

1-piperidinyl,

1-piperazinyl,

20 and

C₃₋₈ cycloalkyl wherein 0-1 carbon atoms in the C₄₋₈ cycloalkyl is replaced by a group selected from -O-, -S(O)_n-, -NR^{2g}-, -NCO₂R^{2e}-, -NCOR^{2e}-, and -NSO₂R^{2e}; and wherein N₄ in

25 1-piperazinyl is substituted with 0-1 substituents selected from R^{2g}, CO₂R^{2e}, COR^{2e} and SO₂R^{2e}; or

the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈

30 alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g}, -NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g}, and C₃₋₈ cycloalkyl which is substituted with 0-1 R²ⁱ and in which 0-1 carbons of C₄₋₈ cycloalkyl is replaced by -O-, wherein

B2
cont

R^{2i} is selected from aryl wherein aryl includes phenyl, naphthyl, indanyl and indenyl, each R^{2i} being substituted with 0-1 OR^{2m} and 0-5 substituents independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, -SH, $-S(O)_n R^{2n}$, $-COR^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2n}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$;

10 R^{2j} is selected from heteroaryl wherein heteroaryl includes pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, 15 benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl 20 and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, OR^{2m} , -SH, $-S(O)_n R^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heteroaryl being substituted 25 on any nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

30 R^{2k} is heterocyclyl which is a saturated or partially saturated heteroaryl as defined for R^{2j} , each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{2m}$,

B²
cont

5 $-\text{SH}$, $-\text{S}(\text{O})_n\text{R}^{2h}$, $-\text{COR}^{2m}$, $-\text{OC}(\text{O})\text{R}^{2h}$, $-\text{NR}^{2g}\text{COR}^{2m}$, $-\text{N}(\text{COR}^{2m})_2$,
 $-\text{NR}^{2g}\text{CONR}^{2o}\text{R}^{2p}$, $\text{NR}^{2g}\text{CO}_2\text{R}^{2h}$, $-\text{NR}^{2o}\text{R}^{2p}$ and $-\text{CONR}^{2o}\text{R}^{2p}$ and each
heterocyclyl being substituted on any nitrogen atom with
0-1 substituents selected from the group R^{2f} , CO_2R^{2e} , COR^{2e}
and SO_2R^{2e} ;

wherein

10 R^{2i} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl and C_{3-8}
cycloalkyl;

15 R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2}
alkyloxy C_{1-2} alkyl, C_{1-4} haloalkyl, $\text{R}^{2q}\text{S}(\text{O})_n\text{-C}_{1-4}$ alkyl
and $\text{R}^{2r}\text{R}^{2s}\text{N-C}_{2-4}$ alkyl;

20 R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-
 C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, and C_{1-4} haloalkyl;

25 R^{2o} and R^{2p} are independently selected at each occurrence
from H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl
and C_{1-4} haloalkyl;

30 R^{2q} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-
 C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl,
aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)-
and benzyl, each benzyl being substituted on the aryl
moiety with 0-1 substituents selected from the group C_{1-4}
alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4}
haloalkoxy, and dimethylamino;

$\text{R}^{2r}\text{R}^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-
morpholinyl, 1-piperidinyl or 1-piperazinyl wherein N_i in

1-piperiazinyl is substituted with 0-1 substituents selected from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ;

B² cont
 R^{2t} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy
5 $-C_{1-4}$ alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl - C_{1-6} alkyl, aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4} alkyl);

R^3 is selected from an aryl or heteroaryl group attached
10 through an unsaturated carbon atom;

aryl is selected from phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence
15 from C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkyloxy- C_{1-4} alkyloxy, $-OR^{2m}$, Br, Cl, F, I, C_{1-4} haloalkyl, $-CN$, $-NO_2$, $-SH$, $-S(O)_nR^{2n}$, $-COR^{2m}$, $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2q}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2q}CONR^{2o}R^{2p}$, $-NR^{2q}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $CONR^{2o}R^{2p}$;

heteroaryl is selected from the group pyridyl, pyrimidyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl,
25 isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted at 0-
30 4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, F, I, C_{1-4} haloalkyl, $-CN$, $NR^{2q}R^{2h}$, nitro, $-OR^{2m}$, $-SH$, $-S(O)_nR^{2n}$, COR^{2m} , $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2q}COR^{2m}$, -

B²
cont

$N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$ and each heteroaryl being substituted at any nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{3a} , COR^{3a} and SO_2R^{3a} wherein,

5 R^{3a} is selected from the group C_{1-6} alkyl, C_{1-4} cycloalkyl- C_{1-6} alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

10

R^4 and R^5 are independently selected at each occurrence from H, Br, Cl, F, I, -CN, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-8} cycloalkyl, C_{1-6} alkyloxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, amino, C_{1-4} alkylamino,

15

(C_{1-4} alkyl)₂ amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group consisting of C_{1-7} alkyl, C_{3-8} cycloalkyl, Br, Cl, F, I, -C(O)H, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-6}

20

alkylamino and (C_{1-4} alkyl)₂ amino and wherein R^4 and R^5 non-phenyl groups may be substituted with 0-5 substituents selected from OH, halogen, -C(O)H, -OC₁₋₆-alkyl and C_{1-6} haloalkyl, C_{1-6} alkyl, C_{3-7} c-alkyl, C_{1-6} alkyl(OH)_nCO₂R wherein R is H or C_{1-6} alkyl, C_{1-6} alkyl(OH)_n, wherein n is 0-3 or R^4 and R^5 may join together to form a C_{3-6} alkylene chain;

25

R^6 , R^{6a} and R^7 are independently selected from:

H, C_{1-10} alkyl, C_{3-10} cycloalkyl, C_{3-10} alkenyl, C_{3-10} alkynyl,

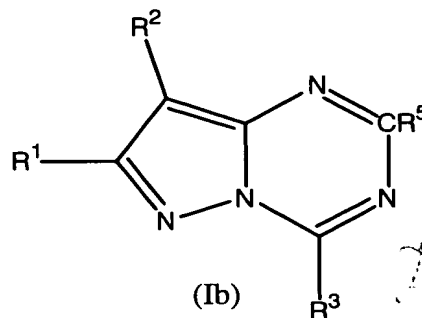
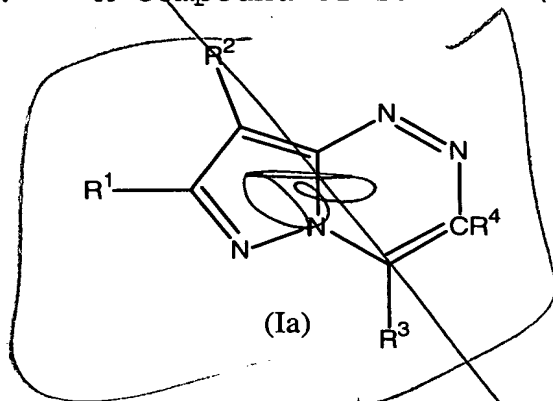
30

C_{1-10} haloalkyl, C_{2-8} alkoxyalkyl, C_{4-12} cycloalkylalkyl, C_{5-10} cycloalkenyl, C_{6-14} cycloalkenylalkyl;

B²
cont
R⁶, R^{6a} and R⁷ are substituted with 0-6 substituents independently selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₄ haloalkyl.

5

3. A compound of formula (Ia) or (Ib)



wherein R¹-R⁵ are as defined in Claims 1 or 2.

10

4. The compound according to Claim 1, 2 or 3 wherein

R¹ is selected from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, -XR^{1c} wherein R¹ is substituted with 0-6 substituents selected from halogen, C₁₋₄ alkyl or C₁₋₄ haloalkyl;

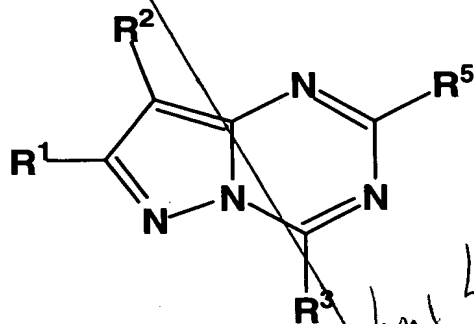
R² is selected from C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₃₋₈ cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl, and -NR^{2c}R^{2d} wherein R² is unsubstituted or substituted with 1-3 substituents independently selected from the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g}, -NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g}, and C₃₋₈ cycloalkyl which is substituted with 0-1 R²ⁱ and in which 0-1 carbons of C₄₋₈ cycloalkyl is replaced by -O-.

B3
cont

5. The compound according to Claims 1, 2, 3 or 4 wherein R³ is selected from an aryl group selected from phenyl or substituted versions thereof or a heteroaryl group selected from pyridyl or substituted versions thereof.

6. The compounds according to Claims 1, 2, 3, 4 or 5 wherein R³ is substituted with 0-4 substituents independently selected from halogen, C₁₋₄ alkyloxy, C₁₋₆ alkyl or NR'R'' wherein R' and R'' are independently selected from H or C₁₋₆ alkyl.

7. A compound of formula (Ia)



(Ia)

or a pharmaceutically acceptable salt thereof, wherein

R¹ is independently selected at each occurrence from H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, halo, CN, C₁-C₄ haloalkyl, C₁-C₁₂ hydroxyalkyl, C₂-C₁₂ alkoxyalkyl, C₂-C₁₀ cyanoalkyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, NR⁹R¹⁰, C₁-C₄ alkyl-NR⁹R¹⁰, NR⁹COR¹⁰, OR¹¹, SH or S(O)_nR¹²;

R² is selected from:

-H, OR⁷, SH, S(O)_nR¹³, COR⁷, CO₂R⁷, CHR⁶(OR⁷)R^{6a},
OC(O)R¹³, CH(OH)R⁶, C(OH)R⁶R^{6a}, C(OR⁷)R⁶R^{6a},
NO, NO₂, NR⁶COR⁷, N(COR⁷)₂, NR⁸CONR⁶R⁷,
5 NR⁶CO₂R⁷, NR⁶R⁷, NR⁶S(O)₂R⁷, N(S(O)₂R⁷)₂,
N(OR⁷)R⁶, CONR⁶R⁷;

or

-C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl,
C₃-C₈ cycloalkyl, C₅-C₈ cycloalkenyl, C₄-
10 C₁₂ cycloalkylalkyl or C₆-C₁₀
cycloalkenylalkyl, each optionally
substituted with 1 to 3 substituents
independently selected at each occurrence
from C₁-C₆ alkyl, C₃-C₆ cycloalkyl, halo,
15 C₁-C₄ haloalkyl, cyano, OR¹⁵, SH,
S(O)_nR¹³, COR¹⁵, CO₂R¹⁵, OC(O)R¹³, NR⁸COR¹⁵,
N(COR¹⁵)₂, NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹³, NR¹⁶R¹⁵,
CONR¹⁶R¹⁵;

20 R³ is selected from phenyl, naphthyl, pyridyl,
pyrimidinyl, triazinyl, furanyl, thienyl,
benzothienyl, benzofuranyl, 2,3-
dihydrobenzofuranyl, 2,3-dihydrobenzothienyl,
indanyl, 1,2-benzopyranyl, 3,4-dihydro-1,2-
25 benzopyranyl, tetralinyl, each R³ optionally
substituted with 1 to 5 substituents and each Ar
is attached via an unsaturated carbon atom wherein
the substituents are independently selected at
each occurrence from: C₁-C₁₀ alkyl, C₂-
30 C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₆ cycloalkyl, C₄-
C₁₂ cycloalkylalkyl, NO₂, halo, CN, C₁-
C₄ haloalkyl, NR⁶R⁷, NR⁸COR⁷, NR⁸CO₂R⁷, COR⁷, OR⁷,
CONR⁶R⁷, CO(NOR⁹)R⁷, CO₂R⁷, or S(O)_nR⁷, where each
such C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl,
35 C₃-C₆ cycloalkyl and C₄-C₁₂ cycloalkylalkyl are
optionally substituted with 1 to 3 substituents
independently selected at each occurrence from C₁-

C₄ alkyl, NO₂, halo, CN, NR⁶R⁷, NR⁶COR⁷, NR⁷CO₂R⁷,
COR⁷ OR⁷, CONR⁶R⁷, CO₂R⁷, CO(NOR⁹)R⁷, or S(O)_nR⁷;

Sub
C'
5 R⁵ is selected from H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-
C₄ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀
cycloalkylalkyl, each optionally substituted with
1 to 3 substituents independently selected at
each occurrence from C₁-C₆ alkyl, C₃-
C₆ cycloalkyl; halo, C₁-C₄ haloalkyl, cyano, OR¹⁵,
10 SH, S(O)_nR¹³, COR¹⁵, CO₂R¹⁵, OC(O)R¹³, NR⁸COR¹⁵,
N(COR¹⁵)₂, NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹³, NR¹⁶R¹⁵,
CONR¹⁶R¹⁵, aryl, heteroaryl and heterocyclyl;
or
halo, CN, -NR⁶R⁷, NR⁹COR¹⁰, -NR⁶S(O)_nR⁷,
15 S(O)_nNR⁶R⁷, C₁-C₄ haloalkyl, -OR⁷, SH or -
S(O)_nR¹²;

20 R⁶, R^{6a} and R⁷ are independently selected at each
occurrence from:
-H,
-C₁-C₁₀ alkyl, C₃-C₁₀ alkenyl, C₃-C₁₀ alkynyl,
C₁-C₁₀ haloalkyl with 1-10 halogens, C₂-C₈
25 alkoxyalkyl, C₃-C₆ cycloalkyl, C₄-
C₁₂ cycloalkylalkyl, C₅-C₁₀ cycloalkenyl,
or C₆-C₁₄ cycloalkenylalkyl, each optionally
substituted with 1 to 3 substituents
independently selected at each occurrence from
30 C₁-C₆ alkyl, C₃-C₆ cycloalkyl, halo, C₁-
C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹³, COR¹⁵,
CO₂R¹⁵, OC(O)R¹³, NR⁸COR¹⁵, N(COR¹⁵)₂,
NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹³, NR¹⁶R¹⁵, CONR¹⁶R¹⁵,
aryl, heteroaryl or heterocyclyl,
35 -aryl, aryl(C₁-C₄ alkyl), heteroaryl,
heteroaryl(C₁-C₄ alkyl), heterocyclyl or
heterocyclyl(C₁-C₄ alkyl);

alternatively, NR⁶R⁷ and NR^{6a}R^{7a} are independently piperidine, pyrrolidine, piperazine, N-methylpiperazine, morpholine or thiomorpholine, each optionally substituted with 1-3 C₁-C₄ alkyl groups;

R⁸ is independently selected at each occurrence from H or C₁-C₄ alkyl;

R⁹ and R¹⁰ are independently selected at each occurrence from H, C₁-C₄ alkyl, or C₃-C₆ cycloalkyl;

R¹¹ is selected from H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, or C₃-C₆ cycloalkyl;

R¹² is C₁-C₄ alkyl or C₁-C₄ haloalkyl;

R¹³ is selected from C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₈ alkoxyalkyl, C₃-C₆ cycloalkyl, C₄-C₁₂ cycloalkylalkyl, aryl, aryl(C₁-C₄ alkyl)-, heteroaryl or heteroaryl(C₁-C₄ alkyl)-;

R¹⁵ and R¹⁶ are independently selected at each occurrence from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₄-C₁₆ cycloalkylalkyl, except that for S(O)_nR¹⁵, R¹⁵ cannot be H;

aryl is phenyl or naphthyl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₆ cycloalkyl, halo, C₁-C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹⁵, COR¹⁵, CO₂R¹⁵, OC(O)R¹⁵, NR⁸COR¹⁵, N(COR¹⁵)₂, NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹⁵, NR¹⁶R¹⁵, and CONR¹⁶R¹⁵;

heteroaryl is pyridyl, pyrimidinyl, triazinyl, furanyl, pyranyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl,

5 oxazolyl, benzofuranyl, benzothienyl,
benzothiazolyl, isoxazolyl, pyrazolyl, 2,3-
dihydrobenzothienyl or 2,3-dihydrobenzofuranyl,
each being optionally substituted with 1 to 5
substituents independently selected at each
occurrence from C₁-C₆ alkyl, C₃-C₆ cycloalkyl,
halo, C₁-C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹⁵,
-COR¹⁵, CO₂R¹⁵, OC(O)R¹⁵, NR⁸COR¹⁵, N(COR¹⁵)₂,
NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹⁵, NR¹⁶R¹⁵, and CONR¹⁶R¹⁵;

10 heterocyclyl is saturated or partially saturated
heteroaryl, optionally substituted with 1 to 5
substituents independently selected at each
occurrence from C₁-C₆ alkyl, C₃-C₆ cycloalkyl,
halo, C₁-C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹⁵,
COR¹⁵, CO₂R¹⁵, OC(O)R¹⁵, NR⁸COR¹⁵, N(COR¹⁵)₂,
NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹⁵, NR¹⁵R¹⁶, and CONR¹⁶R¹⁵;

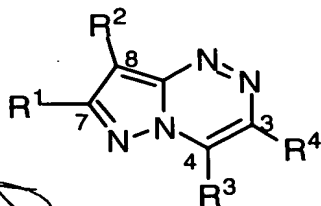
n is independently at each occurrence 0, 1 or 2.

20 8. The compound according to Claims 1-7 wherein R² is
selected from 3-pentyl, NEt₂, butyl, NHCH(CH₂OMe)₂,
NHCH(CH₂OEt)₂, NHCH(Et)CH₂OMe, NH-3-heptyl, NH-3-pentyl, NH-
2-butyl, NH-3-hexyl, NHCH(CH₂Ph)CH₂OMe,
NHCH(Et)CH₂CH₂OMe, NH-cyclobutyl, NH-cyclopentyl, NEtPr,
NEtBu, NMePr, NMePh, NPr₂, NPr(CH₂-c-C₃H₅),
N(CH₂CH₂OMe)₂, morpholino, N(CH₂Ph)CH₂CH₂OMe,
N(Me)CH₂CH₂OMe, N(Et)CH₂CH₂OMe, N(CH₂-c-C₃H₅)CH₂CH₂OMe,
30 N(CH₂-c-C₃H₅)Pr, N(CH₂-c-C₃H₅)Et, OEt, OCH(Et)CH₂OMe,
OCH(Et)CH₂CH₂OMe, OCH(Me)CH₂CH₂OMe, O-3-pentyl, O-2-
pentyl, S-3-pentyl, S-2-pentyl, SEt, S(O)Et, SO₂Et, S-3-
pentyl, S(O)-3-pentyl, SO₂-3-pentyl, S-2-pentyl, S(O)-2-
pentyl, SO₂-2-pentyl, CH(CO₂Et)₂, C(Et)(CO₂Et)₂,

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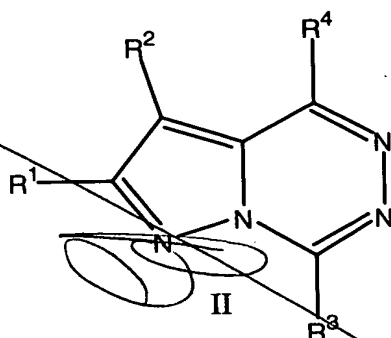
- CH(Et)CH₂OH, CH(Et)CH₂OMe, CH(Et)CH₂CH₂OMe, CONMe₂,
 COCH₃, COEt, COPr, CO-2-pentyl, CO-3-pentyl, CH(OH)CH₃,
 C(OH)Me₂, C(OH)Ph-3-pyridyl, CH(OMe)CH₃, CH(OMe)Et,
 CH(OMe)Pr, CH(OEt)CH₃, CH(OPr)CH₃, 2-pentyl, 2-butyl,
 5 cyclobutyl, cyclopentyl, CH(Me)cyclobutyl,
 CH(OMe)cyclobutyl, CH(OH)cyclobutyl, CH(Me)cyclopropyl,
 CH(OMe)cyclopropyl, CH(OH)cyclopropyl, CH(Et)cyclobutyl,
 CH(Et)cyclopropyl, CH(OMe)cyclobutyl, CH(OMe)cyclopropyl,
 CH(OEt)cyclobutyl, CH(OEt)cyclopropyl, CH(Me)CH₂-
 10 cyclobutyl, CH(OMe)CH₂-cyclobutyl, CH(OH)CH₂-cyclobutyl,
 CH(Me)CH₂-cyclopropyl, CH(OMe)CH₂-cyclopropyl, CH(OH)CH₂-
 cyclopropyl, CH(Et)CH₂-cyclobutyl, CH(Et)CH₂-cyclopropyl,
 CH(OMe)CH₂-cyclobutyl, CH(OMe)CH₂-cyclopropyl,
 CH(OEt)CH₂-cyclobutyl, CH(OEt)CH₂-cyclopropyl,
 15 CH(CH₂OMe)cyclobutyl, CH(CH₂OMe)cyclopropyl,
 CH(CH₂OEt)cyclobutyl, CH(CH₂OEt)cyclopropyl,
 CH(cyclobutyl)₂, CH(cyclopropyl)₂, CH(Et)CH₂CONMe₂,
 CH(Et)CH₂CH₂NMe₂, CH(CH₂OMe)Me, CH(CH₂OMe)Et,
 CH(CH₂OMe)Pr, CH(CH₂OEt)Me, CH(CH₂OEt)Et, CH(CH₂OEt)Pr,
 20 CH(CH₂C≡CMe)Et, CH(CH₂C≡CMe)Et.

9. A compound of formula Ib



25 having R¹-R⁴ as defined in Claims 1-8.

10. A compound of formula II



or a pharmaceutically acceptable salt or isomer thereof wherein R¹-R⁴ are as defined in any of claims 1-8.

5 11. Use of a compound according to Claims 1-10 in therapy.

12. Use of a compound according to Claims 1-10 to antagonize a CRF-1 receptor in mammals including humans wherein binding to the receptor causes and ultimately results in the treatment of affective disorder, anxiety, depression, headache, irritable bowel syndrome, post-traumatic stress disorder, supranuclear palsy, immune suppression, Alzheimer's disease, gastrointestinal diseases, anorexia nervosa or other feeding disorder, drug addiction, drug or alcohol withdrawal symptoms, inflammatory diseases, cardiovascular or heart-related diseases, fertility problems, human immunodeficiency virus infections, hemorrhagic stress, obesity, infertility, head and spinal cord traumas, epilepsy, stroke, ulcers, amyotrophic lateral sclerosis, hypoglycemia or a disorder the treatment of which can be effected or facilitated by antagonizing CRF, including but not limited to disorders induced or facilitated by CRF, in mammals comprising administering to the mammal a therapeutically effective amount of a compound according

to Claims 1-10 with ~~the~~ proviso that, in the case of
compounds of Claim 1, the provisos are not present.

sub B5 5 13. A pharmaceutical composition comprising a compound
according to Claims 1-10 and a pharmaceutically
acceptable carrier.